IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

IN RE US APPLICATION OF EHRENFREUND ET AL

SERIAL NO. 10/506,918 GROUP ART UNIT: 1616
FILED: APRIL 28, 2005 EXAMINER: S QAZI
TITLE: O-CYCLOPROPYL-CARBOXANILIDES AND THEIR USE AS FUNGICIDES

DECLARATION PURSUANT TO
37 CFR 1.132

I, Dietrich Hermann, a citizen of Germany, declare that:

1. I was awarded a Master degree in Agricultural Biology (Diplom-Agrarbiologe) in 1987, passed an additional exam on Phytomedizine in 1989 and received a PhD in Agricultural Sciences in 1991 by the University of Hohenheim, Germany.

2. I was employed by SANDOZ, NOVARTIS and now SYNGENTA CROP PROTECTION AG, as a Project Biologist and Teamleader in Fungicide Research end 1991 and presently hold the position as Head of Disease Control Biology within Crop Protection Research.

3. I have been engaged in research work in the field of testing chemicals for use as fungicides for SYNGENTA CROP PROTECTION AG. (previously Sandoz and NOVARTIS) since 1991. Prior to starting my employment with Sandoz, I was employed by the University of Hohenheim as a scientific assistant beginning 1987.

4. I have reviewed the Office Action of October 19, 2007 in relation to this patent application and the applied references US5,480,897 US5,330,995, US5,556,988 and the related reference EP0545099.

5. In order to demonstrate that the compounds according to the presently claimed invention provide unexpectedly improved results compared to those disclosed in the prior art, the

following experiments were performed and the results assessed, under my supervision, in the laboratories of Syngenta in Switzerland.

5.1 Comparison of the biological activity of the compounds according to US10/506,918 with the prior art:

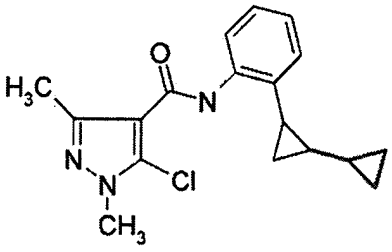
5.2 In the following tests, the biological activity of a representative number of compounds of formula I according to the present invention is compared with the structurally closest compounds described in US5,330,995, US5,480,897 and US5,556,988. Compounds no. 2.36, 4.1 and 4.20 according to the present invention are specifically described on pages 5-9 of the specification. The compound 5-Chloro-1,3-dimethyl-1H-pyrazole-4-carboxylic acid [2-(2-ethyl-cyclopropyl)-phenyl]-amide (compound A) is encompassed by the scope of the present invention. Compounds no. 9.50 and 10.50 according to the prior art are disclosed in tables 9 and 10 in columns 20 and 22 of US5,330,995, columns 23 and 24 of US5,480,897 and columns 19 and 22 of US5,556,988. The method employed was as follows:

5.3 Action against *Puccinia recondita* (Brown rust) on wheat

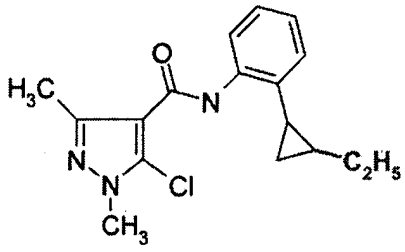
Wheat leaf segments (cv Kanzler) were placed on agar in multiwell plates (24-well format) and were treated with the the formulated (2% Dimethylsulfoxid, 0,025% Tween 20) test compounds by spraying. The compounds were tested at a variety of application rates; these rates are shown in parts per million (ppm) in the table. After drying, the leaf disks were inoculated with a spore suspension of the fungus (45.000 conidia/ml). After an incubation period of 8 days at 19 °C and 75-90 % relative humidity the disease incidence is assessed as % disease control (0 = no control of *Puccinia recondita*, ratings of 80% to 90% mean good to very good control, 100% = complete control).

5.4 Comparative Example 1:

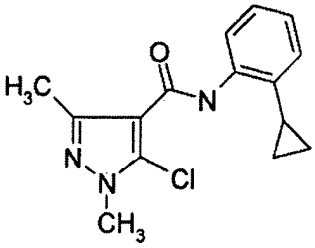
Comparison of compound 2.36 and compound A (5-Chloro-1,3-dimethyl-1H-pyrazole-4-carboxylic acid [2-(2-ethyl-cyclopropyl)-phenyl]-amide) according to the present invention) with compound no. 10.50 according to the cited prior art.



Compound 2.36 according to the present invention



Compound A according to the present invention



Compound 10.50 according to the prior art

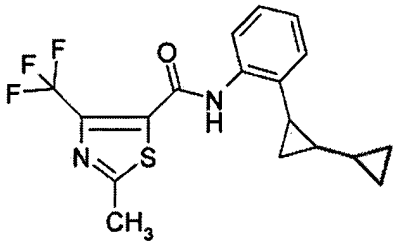
Compound No.	Ppm			
	200	60	20	6
2.36 (present invention)	100	100	100	100
A (present invention)	100	100	100	100
10.50 (prior art)	100	100	0	0

5.5 The structures are identical except for the cyclopropyl or ethyl substituent at the cyclopropyl group of the compounds according to the present invention.

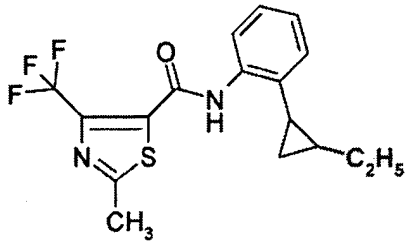
From the results presented above, it can be derived that compound 2.36 and compound A according to the present invention show very good control (rating: 100%) of *Puccinia recondita* at application rates of 20 and 6 ppm. Whereas, in contrast thereto, compound No. 10.50 according to the prior art is totally ineffective against the disease at these application rates.

5.6 Comparative Example 2:

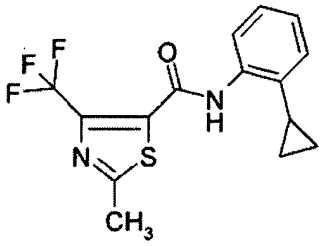
Comparison of compounds 4.20 and 4.1 according to the present invention with compound No. 9.50 according to the prior art.



Compound 4.20 according to the present invention



Compound 4.1 according to the present invention



Compound 9.50 according to the prior art

Compound No.	Ppm			
	200	60	20	6
4.20 (present invention)	100	100	100	100
4.1 (present invention)	100	100	100	20
9.50 (prior art)	100	80	0	0

5.7 The structures are identical except for the cyclopropyl or ethyl substituent at the cyclopropyl group of the compounds according to the present invention.

From the results presented above, it can be derived that compounds 4.20 and 4.1 according to the present invention show very good control (rating: 100%) of *Puccinia recondita* at an application rate of 20 ppm. Whereas, in contrast thereto, compound No. 9.50 according to the prior art is totally ineffective against the disease at this application rate.

6. Conclusion:

These results are indicative of the superior fungicidal activity of the four compounds according to the present invention when compared with compounds described in the prior art against *Puccinia recondita* on wheat leaf segments at the tested application rates. In the light of the

structural similarities of the tested compounds, this surprising improvement of the fungicidal properties is completely unexpected and cannot be derived from what is known from prior art. This superior performance is important because it allows a more efficient disease control of the said plant disease at significantly lower application rates.

7. I further declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements are made with the knowledge that wilful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such wilful false statements may jeopardise the validity of the application or any patent issuing thereon.

Signed 

(Dietrich Hermann)

Dated this 6th day of March 2008